US6114358

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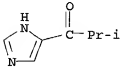
L1 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:292048 CAPLUS
DOCUMENT NUMBER: 139:101071
TITLE: A convenient synthesis of 4(5)-alkylacyl-1H-imidazoles from 4(5)-imidazolecarboxaldehyde
AUTHOR(S): Kawakami, Jun-Ichi; Kimura, Kazuhiro; Yamaoka, Masayoshi
CORPORATE SOURCE: Chemical Development Laboratories, Takeda Chemical Industries, Ltd., Yodogawa-ku, 532-8686, Japan
SOURCE: Synthesis (2003), (5), 677-680
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A convenient synthesis of 4(5)-acyl-1H-imidazoles from 4(5)-imidazolecarboxaldehyde without N-protecting group is described. 4(5)-Cyanoimidazole could be synthesized from com. available 4(5)-imidazolecarboxaldehyde in one-pot. Treatment of 4(5)-cyanoimidazole with various alkylmagnesium bromides followed by addn. of aq. sulfuric acid afforded 4(5)-acyl-1H-imidazoles in good yield.
IT **247174-71-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 4(5)-alkylacyl-1H-imidazoles from 4(5)-imidazolecarboxaldehyde via Grignard reaction without using N-protecting groups)
RN 247174-71-6 CAPLUS
CN 1-Propanone, 1-(1H-imidazol-4-yl)-2-methyl- (9CI) (CA INDEX NAME)



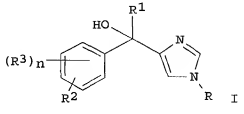

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:319878 CAPLUS
DOCUMENT NUMBER: 134:340506
TITLE: Preparation process and use of 1-substituted phenyl-1-(1H-imidazol-4-yl) alcohols as antitumor agents
INVENTOR(S): Tasaka, Akihiro; Kaku, Tomohiro; Kusaka, Masami
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001030764 | A1 | 20010503 | WO 2000-JP7284 | 20001019 |

W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ,

LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU,
SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2000079501 A5 20010508 AU 2000-79501 20001019
EP 1227086 A1 20020731 EP 2000-969904 20001019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL
JP 2001187784 A2 20010710 JP 2000-320485 20001020
US 6518257 B1 20030211 US 2002-111136 20020418
PRIORITY APPLN. INFO.: JP 1999-301562 A 19991022
WO 2000-JP7284 W 20001019
OTHER SOURCE(S): MARPAT 134:340506
GI



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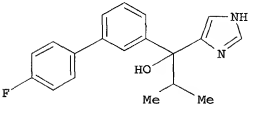


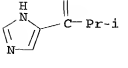
II

AB Title compds. [I; R represents hydrogen, CPh3; R1 represents alkyl or cyclic hydrocarbyl; R2 represents optionally substituted aryl or optionally substituted heteroaryl; R3 represents optionally substituted hydrocarbyl, optionally substituted hydroxy, optionally substituted thiol, optionally substituted amino, acyl or halogeno; n is an integer of from 0 to 4], which have steroid C17,20 lyase inhibitory activity and are useful as preventives and/or remedies for tumors such as prostate and mammary cancer, are prepd. Thus, the title compd. II was prepd. and biol. tested for steroid C17.20 lyase inhibition at IC50 = 8.3nM.

IT **247174-71-6**, 1-(1H-Imidazol-4-yl)-2-methyl-1-propanone
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. process and use of phenylimidazolyl alcs. as antitumor agents)

RN 247174-71-6 CAPLUS

CN 1-Propanone, 1-(1H-imidazol-4-yl)-2-methyl- (9CI) (CA INDEX NAME)



US6114358

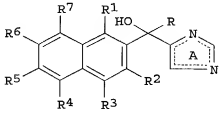
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:911226 CAPLUS
DOCUMENT NUMBER: 134:56671
TITLE: Process for the preparation of 4-alkanoylimidazole derivatives and 1-(2-naphthyl)-1-(1H-imidazol-4-yl)alkanol derivatives
INVENTOR(S): Kawakami, Jun-ichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000078727 | A1 | 20001228 | WO 2000-JP4036 | 20000621 |
| W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2001064264 | A2 | 20010313 | JP 2000-191081 | 20000621 |
| EP 1193258 | A1 | 20020403 | EP 2000-940770 | 20000621 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |

PRIORITY APPLN. INFO.: JP 1999-175070 A 19990622
WO 2000-JP4036 W 20000621

OTHER SOURCE(S): CASREACT 134:56671; MARPAT 134:56671
GI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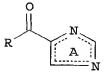
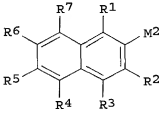
II


III


IV

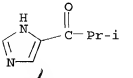
AB An industrially advantageous process for the prepn. of compds. of general

formula (I; wherein the ring A is an optionally substituted imidazole ring; R is an optionally substituted hydrocarbon group or a heterocyclic group; and R1, R2, R3, R4, R5, R6, and R7 are each hydrogen, optionally substituted hydrocarbyl, OH, SH, NH2, acyl, halogeno, or the like) comprises addn. reaction of 4-cyanoimidazole (II; the ring A is same as above ) with R-M1 (R is same as above; M1 = alkali metal, Mg-Y1; Y1 = halo) to give 4-acylimidazole (III; R and ring A are same as above), followed by addn. reaction of III with naphthalene alkali metals (IV; R1 - R7 are = same as above; M2 is alkali metal, Mg-Y2; Y2 is halo). This process is reduced in the no. of steps, attains a high yield, and dispenses with the use of a heavy metal compd. The compds. I exhibit a steroid C17-C20 lyase inhibitory activity (no data). Thus, a soln. of 42.7 g 4-cyanoimidazole in 500 mL THF was added dropwise to a 1.1. M soln. of isopropylmagnesium bromide in THF (1.4 L) over a period of 30 min, stirred at 15-25.degree., treated dropwise with 10% aq. H2SO4, stirred for 30 min, neutralized to pH 8 with 30 aq. NaOH, and extd. with EtOAc (300 L .times. 2) to give 82% 1-(1H-imidazol-4-yl)-2-methyl-1-propanone (V). 2-Bromo-6-methoxynaphthalene (5.15 g) was added dropwise to a mixt. of 0.55 g and 3 mg iodine in THF at 50.degree. and stirred at 15-25.degree. for 1.5 h, followed by adding dropwise a soln. of 1 g V in THF, and the resulting mixt. was stirred at 15-25.degree. for 8 h to give, after workup, 84% 1-(1H-imidazol-4-yl)-1-(6-methoxynaphthalen-2-yl)-2-methylpropanol.

IT **247174-71-6P**, 1-(1H-Imidazol-4-yl)-2-methyl-1-propanone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-alkanoylimidazole derivs. and .alpha.-(2-naphthyl)-.alpha.-(1H-imidazolyl)alkanol derivs. by addn. reaction of cyanoimidazoles with alkylmagnesium bromides followed by naphthylmagnesium bromide)

RN 247174-71-6 CAPLUS

CN 1-Propanone, 1-(1H-imidazol-4-yl)-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:595488 CAPLUS

DOCUMENT NUMBER: 133:335190

TITLE: Solid-phase synthesis of 4-substituted imidazoles using a scaffold approach

AUTHOR(S): Gelens, E.; Koot, W. J.; Menge, W. M. P. B.; Ottenheijm, H. C. J.; Timmerman, H.

CORPORATE SOURCE: Leiden/Amsterdam Center for Drug Research (LACDR), Department of Pharmacochemistry, Vrije Universiteit, Amsterdam, 1081 HV, Neth.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1935-1938
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

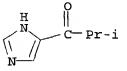
OTHER SOURCE(S): CASREACT 133:335190

AB Immobilized 4-iodoimidazole was used in a metal/halogen exchange reaction followed by treatment with electrophiles and subsequent cleavage from the

resin to yield 4-substituted imidazoles. Grignard reaction with resin-bound ketones yielded the corresponding alcs. This approach was used for a library synthesis of 35 imidazoles.

IT **247174-71-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of 4-substituted imidazoles using a scaffold approach)

RN 247174-71-6 CAPLUS
CN 1-Propanone, 1-(1H-imidazol-4-yl)-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:691084 CAPLUS
DOCUMENT NUMBER: 131:299449
TITLE: Preparation of azolylmethylnaphthalenes and related compounds as steroid C17,20-lyase inhibitors
INVENTOR(S): Tasaka, Akihiro; Ojida, Akio; Kaku, Tomohiro; Kusaka, Masami; Yamaoka, Masuo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9954309 | A1 | 19991028 | WO 1999-JP2143 | 19990422 |
| W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2328973 | AA | 19991028 | CA 1999-2328973 | 19990422 |
| AU 9935346 | A1 | 19991108 | AU 1999-35346 | 19990422 |
| JP 2000007658 | A2 | 20000111 | JP 1999-114398 | 19990422 |
| EP 1073640 | A1 | 20010207 | EP 1999-917102 | 19990422 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| US 6573289 | B1 | 20030603 | US 2000-673591 | 20001018 |
| PRIORITY APPLN. INFO.: | | | JP 1998-113801 A | 19980423 |
| | | | WO 1999-JP2143 W | 19990422 |

OTHER SOURCE(S): MARPAT 131:299449
GI